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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	4	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	5	MAR 02	GBFULL: New full-text patent database on STN
NEWS	6	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	9	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	10	MAR 22	PATDPASPC - New patent database available
NEWS	11	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	12	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	13	APR 04	EMBASE - Database reloaded and enhanced
NEWS	14	APR 18	New CAS Information Use Policies available online
NEWS	15	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	16	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus
NEWS	17	MAY 23	GBFULL enhanced with patent drawing images
NEWS	18	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	19	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
NEWS	20	JUN 13	RUSSIAPAT: New full-text patent database on STN
NEWS	21	JUN 13	FRFULL enhanced with patent drawing images
NEWS	22	JUN 27	MARPAT displays enhanced with expanded G-group definitions and text labels
NEWS	23	JUL 01	MEDICONF removed from STN
NEWS	24	JUL 07	STN Patent Forums to be held in July 2005
NEWS	25	JUL 13	SCISEARCH reloaded
NEWS	26	JUL 20	Powerful new interactive analysis and visualization software, STN AnaVist, now available
NEWS	27	AUG 11	Derwent World Patents Index(R) web-based training during August
NEWS	28	AUG 11	STN AnaVist workshops to be held in North America
NEWS	29	AUG 30	CA/CAplus -Increased access to 19th century research documents
NEWS	30	AUG 30	CASREACT - Enhanced with displayable reaction conditions

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),

AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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FILE 'HOME' ENTERED AT 12:07:55 ON 06 SEP 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 5 SEP 2005 HIGHEST RN 862458-90-0
DICTIONARY FILE UPDATES: 5 SEP 2005 HIGHEST RN 862458-90-0

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
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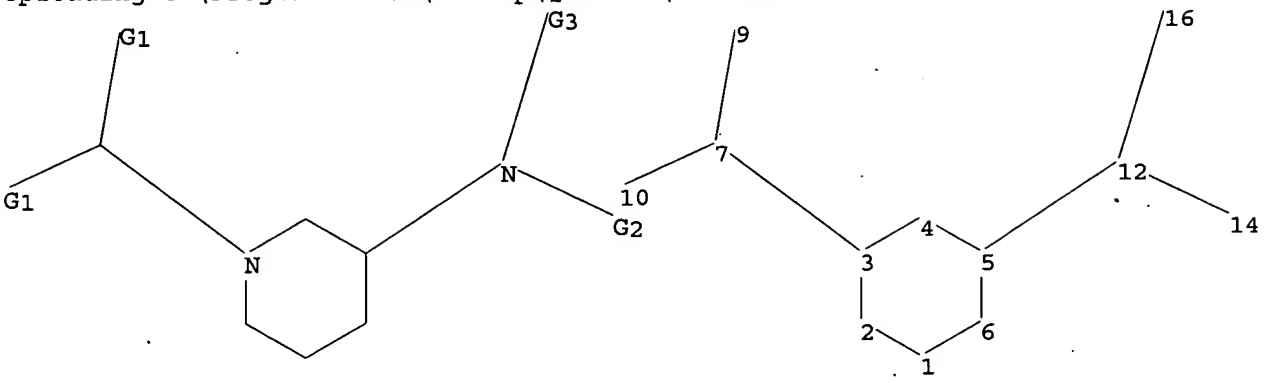
Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10717958.str



chain nodes :
7 9 10 12 14 16
ring nodes :
1 2 3 4 5 6
chain bonds :
3-7 5-12 7-9 7-10 12-14 12-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 3-7 4-5 5-6 5-12 7-9 7-10 12-14 12-16
isolated ring systems :
containing 1 :

G1:H,O

G2:H,O,S,Cb,Ak

G3:H,Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS
12:CLASS 14:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 12:08:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2331 TO ITERATE

85.8% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 43724 TO 49516
PROJECTED ANSWERS: 4782 TO 6826

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L2            50 SEA SSS SAM L1

=> s l1  ful
FULL SEARCH INITIATED 12:08:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  47505 TO ITERATE

100.0% PROCESSED    47505 ITERATIONS                          6103 ANSWERS
SEARCH TIME: 00.00.01

L3          6103 SEA SSS FUL L1

=>

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 12:08:40 ON 06 SEP 2005
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FILE COVERS 1907 - 6 Sep 2005  VOL 143 ISS 11
FILE LAST UPDATED: 5 Sep 2005  (20050905/ED)

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4          1211 L3

=> s l4 and (process or procedure or make or synthes? or made or method)
       2141802 PROCESS
       1431639 PROCESSES
       3185804 PROCESS
                 (PROCESS OR PROCESSES)
        418659 PROCEDURE
        178953 PROCEDURES
        572259 PROCEDURE
                 (PROCEDURE OR PROCEDURES)
        215270 MAKE
        167192 MAKES
        371370 MAKE
                 (MAKE OR MAKES)
       1473235 SYNTHES?
       1164781 MADE
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```
          24 MADES
     1164802 MADE
               (MADE OR MADES)
     2932792 METHOD
     1206963 METHODS
     3800247 METHOD
               (METHOD OR METHODS)
L5       473 L4 AND (PROCESS OR PROCEDURE OR MAKE OR SYNTHES? OR MADE OR
             METHOD)

=> s l5 and reducing agent
      329100 REDUCING
           3 REDUCINGS
      329101 REDUCING
               (REDUCING OR REDUCINGS)
      743483 AGENT
     1071342 AGENTS
     1513325 AGENT
               (AGENT OR AGENTS)
       58478 REDUCING AGENT
               (REDUCING(W)AGENT)
L6         0 L5 AND REDUCING AGENT

=> s l5 and (reducing or reduce or reduction)
      329100 REDUCING
           3 REDUCINGS
      329101 REDUCING
               (REDUCING OR REDUCINGS)
      249068 REDUCE
      133523 REDUCES
      372778 REDUCE
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      296642 REDUCTION
        5383 REDUCTIONS
      299288 REDUCTION
               (REDUCTION OR REDUCTIONS)
      863249 REDN
       47267 REDNS
      892920 REDN
               (REDN OR REDNS)
     1026206 REDUCTION
               (REDUCTION OR REDN)
L7       68 L5 AND (REDUCING OR REDUCE OR REDUCTION)

=> s l7 and (borohydride or lithium aluminum)
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        1327 BOROHYDRIDES
       20780 BOROHYDRIDE
               (BOROHYDRIDE OR BOROHYDRIDES)
      295210 LITHIUM
         358 LITHIUMS
      295334 LITHIUM
               (LITHIUM OR LITHIUMS)
      888883 ALUMINUM
         297 ALUMINUMS
      888944 ALUMINUM
               (ALUMINUM OR ALUMINUMS)
        9225 LITHIUM ALUMINUM
               (LITHIUM(W)ALUMINUM)
L8         4 L7 AND (BOROHYDRIDE OR LITHIUM ALUMINUM)
```
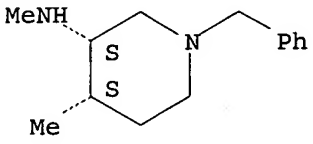

=> d l8 ibib hitstr abs 1-4

L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:909780 CAPLUS
DOCUMENT NUMBER: 138:137134
TITLE: Development of a Scaleable Route for the Production of cis-N-Benzyl-3-methylamino-4-methylpiperidine
AUTHOR(S): Ripin, David H. Brown; Abele, Stefan; Cai, Weiling; Blumenkopf, Todd; Casavant, Jeffrey M.; Doty, Jonathan L.; Flanagan, Mark; Koecher, Christian; Laue, Klaus W.; McCarthy, Keith; Meltz, Cliff; Munchhoff, Mike; Pouwer, Kees; Shah, Bharat; Sun, Jianmin; Teixeira, John; Vries, Ton; Whipple, David A.; Wilcox, Glenn
CORPORATE SOURCE: Chemical Research and Development Pfizer Global Research Division, Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Organic Process Research & Development (2003), 7(1), 115-120
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:137134
IT **477600-68-3P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(large-scale stereoselective preparation of cis-1-benzyl-4-methyl-3-(methylamino)piperidine)
RN 477600-68-3 CAPLUS
CN 3-Piperidinamine, N,4-dimethyl-1-(phenylmethyl)-, dihydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

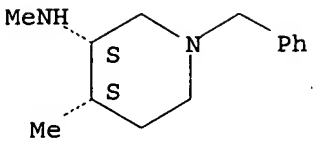
Relative stereochemistry.



●2 HCl

IT **477600-69-4P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(large-scale stereoselective preparation of cis-1-benzyl-4-methyl-3-(methylamino)piperidine)
RN 477600-69-4 CAPLUS
CN 3-Piperidinamine, N,4-dimethyl-1-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **493040-24-7P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(resolution in the large-scale stereoselective preparation of nonracemic cis-1-benzyl-4-methyl-3-(methylamino)piperidine)

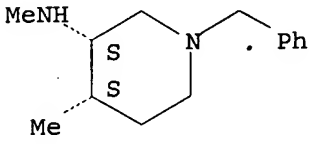
RN 493040-24-7 CAPLUS

CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, (2R,3R)-, compd. with rel-(3R,4R)-N,4-dimethyl-1-(phenylmethyl)-3-piperidinamine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 477600-69-4
CMF C14 H22 N2

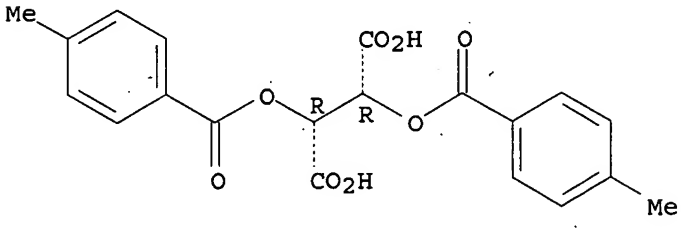
Relative stereochemistry.



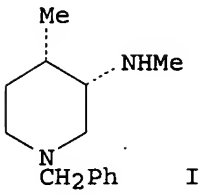
CM 2

CRN 32634-66-5
CMF C20 H18 O8

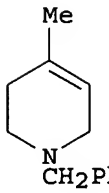
Absolute stereochemistry. Rotation (-).



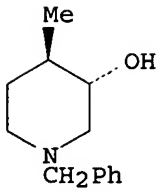
GI



I



II

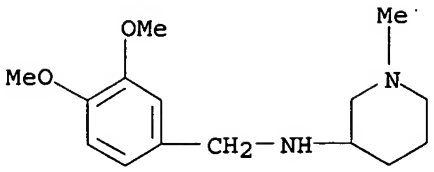


III

AB Cis-N-benzyl-3-methylamino-4-methylpiperidine I was prepared in >10 kg quantities by a six-step route. Benzylation of 4-methylpyridine followed by **reduction** with sodium **borohydride** in ethanol provided methylbenzyltetrahydropyridine II in good yield and purity. Complexation of II with boron trifluoride etherate followed by hydroboration with borane-THF complex, oxidation, and workup provided the piperidinol III as the major isomer; oxidation to the ketone and reductive amination with methylamine and sodium triacetoxyborohydride then provided I. I was resolved with p-toluoyl-L-tartaric acid to provide nonracemic I in 99.2% ee. The hydroboration-oxidation and reductive amination reactions and their workups were optimized carefully. Alternative routes to I were studied.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1961:144163 CAPLUS
DOCUMENT NUMBER: 55:144163
ORIGINAL REFERENCE NO.: 55:27301h-i,27302a-i,27303a-f
TITLE: Application of sodium **borohydride** **reduction** to **synthesis** of substituted aminopiperidines, aminopiperazines, aminopyridines, and hydrazines
AUTHOR(S): Walker, Gordon N.; Moore, Miriam Ann; Weaver, Barbara N.
CORPORATE SOURCE: Ciba Pharm. Prods. Inc., Summit, NJ
SOURCE: Journal of Organic Chemistry (1961), 26, 2740-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 55:144163
IT **132467-51-7**, Piperidine, 1-methyl-3-veratrylamino-, dihydrochlorides
(preparation of)
RN 132467-51-7 CAPLUS
CN Piperidine, 1-methyl-3-veratrylamino-, dihydrochloride (6CI) (CA INDEX NAME)



●2 HCl

AB Quaternization of 4-aminopyridine (I) with alkyl and arylalkyl halides gave 4-aminopyridinium salts, which were reduced with NaBH4 to 1-(alkyl or arylalkyl)-4-aminopiperidines. Both 1-alkyl-4-aminopiperidines and 1-alkyl-4-aminopiperazines could be converted to Schiff bases, which were reduced with NaBH4 to the corresponding secondary amines. Similar **reduction** of appropriate Schiff bases as a means of preparing substituted 3-aminopiperidines, aminopyridines, and aminomethylpyridines, as well as **reduction** of dialkylhydrazones to the corresponding trisubstituted hydrazines were also described. Anhydrous HBr was passed through a cold solution of 33.6 g. veratryl alc. in 500 ml. C6H6 10 min., the lower layer separated, the C6H6 treated with Na2CO3, stirred, the solution of veratryl bromide (II) filtered, and used in the following step without purification. To the C6H6 solution of II was added 19 g. I; the mixture refluxed 1.5 hrs., filtered, and the product crystallized gave 54 g. 1-(3,4-dimethoxybenzyl)-4-aminopyridinium bromide (IIa), m. 248-50° (decomposition), (alc.). A simple two-step **synthesis** was used in the preparation of the 1,2-diphenylethyl- and 3,4-dimethoxyphenacyl-substituted compds. The remaining substances were prepared from the com. available bromo (in one case, iodo) compds. by the same **procedure** with a few modifications in solvents used and reaction times. In reactions involving α,ω-dibromoalkanes, a mixture of the compound, 2 equivs. I, and a suitable amount of PhMe was refluxed. The product often settled as an oil. In this case the supernatant was decanted, and the oil crystallized 2-Methyl-4-aminopyridine (III) was most conveniently **synthesized** by a 2-step **reduction** of 4-nitro-2-picoline N-oxide as follows. (A) The oxide (45 g.) in 200 ml. alc. containing 4 g. 10% Pd-C shaken under H at 45 lb./sq. in. gave 33 g. 2-methyl-4-aminopyridine N-oxide (IV), yellow crystals, m. 181-3° (alc.). IV (30 g.) in 300 ml. 1:1 AcOH-H2O treated with excess Zn dust, the mixture warmed 1 hr., cooled, covered with Et2O, treated with a 40% solution of 500 g. NaOH, and the Et2O solution evaporated gave 16.8 g. III, m. 95° (cyclohexane). The following (4-H2NC5H4N)RBr were obtained (R, solvent prepared in, reflux time in hrs., % yield, and m.p. given): EtO2CCH2, C6H6-alc., 1.5, 92, 197°; EtO2CCH2CH2, PhMe, 5, 73, 159°; HOCH2CH2, PhMe, 3.5, 80, 131°; PhCH2, C6H6, 0.5, 90, 196°; Ph2CH, PhMe, 3, 56, 263°; PhCH2CH2, PhMe, 2, 77, 260°; PhCH2CHPh, C6H6, 9, 53, 245°; PhOCH2CH2, PhMe, 4.5, 75, 184°; BzCH2, C6H6, 2, 96, 308°; 3,4-(MeO)2C6H3COCH2, C6H6-alc., 0.3, 64, 271°; p-O2NC6H4CH2, PhMe, 5.5, 66, 266°; 2,4-(O2N)2C6H3, PhMe, 1, 56, 294°. The following [4-H2NC5H4N(CH2)nNC5H4-4]Br2 were similarly obtained (n, solvent, reflux time, % yield, and m.p. of product given): 4, PhMe, 2, 87, 273°; 6, PhMe, 14, 91, 303°; 8, PhMe, 5.5, 84, 300°; 9, PhMe, 5, 14, 221°; 10, PhMe, 5, 88, 247°; 11, PhMe, 7.5, 48, 216°; 12, PhMe, 13, 29, 209°; 16, PhMe (prepared from alkyl iodide), 11, 94, 185°. The following

[2,4-Me(H2N)C5H4N(CH2)nNC5H4(NH2)Me- 4,2]Br2 were obtained (n, solvent, reflux time in hrs., % yield, and m.p. given): 8, PhMe, 8, 60, 304°; 9, PhMe, 9, 17, 275°. IIa (30 g.) in 700 ml. MeOH treated in 1 hr. with 250 g. NaBH4, the mixture heated on a steam bath, cooled, treated with 500 ml. H2O, covered with 2 l. Et2O, the 2 phases treated with anhydrous K2CO3 to convert the lower layer to a paste, the Et2O separated, evaporated, the 20 g. oil dissolved in 30 ml. alc., and treated with dry HCl gave 12.2 g. 1-(3,4-dimethoxybenzyl)-4-aminopiperidine-2HCl, m. 223-5° (decomposition) (MeOH-Et2O). Other 4-aminopiperidines were obtained from the resp. quaternary salts by the same **procedure.** The free bases were hygroscopic oils. The amines had to be salted out with NaCl. When 4-aminopiperidines, as free bases, were required for further work, they were used directly in the crude state. 1-Methyl-4-aminopiperidine and 1-(β-hydroxyethyl)-4-aminopiperidine, both formed hygroscopic salts with HCl. The following 4-(N-substituted-amino)piperidine-2HCl were thus obtained (R, % yield, and m.p. given): EtO2CCH2, 17, 169°; PhCH2, 41, 255°; PhCH2CH2, 88, 321°; PhCH2CHPh, 40, 237° (decomposition); PhOCH2CH2, 44, 220°; PhCH(OH)CH2, 90, 248° (decomposition); 3,4-(MeO)2C6H3CH(OH)CH2, 56, 220° (decomposition); p-O2NC6H4CH2, 10, 265° (decomposition). The following 4-H2NC5H4N(CH2)nNC5H4NH2-4.4HCl were similarly obtained (n, % yield, and m.p. given): 6, 22, 204°; 10, 16, 295°; 12, 34, 311°; 16, 20, 315°. 1,10-Bis(4-amino-1-piperidyl)decane was also characterized by preparation of the bis(dichloroacetate)-2HCl, m. 227-30° (decomposition) (alc.). 1-Methyl-4-aminopiperazine (8.1 g.) and 11.2 g. veratraldehyde in 200 ml. PhMe refluxed 1.5 hrs., evaporated, the residue dissolved in 150 ml. MeOH, the solution reduced with 40 g. NaBH4, heated 0.5 hr. on the steam bath, and the 20.5 g. yellow oil treated with alc. HCl gave 10 g. 1-methyl-4-(3,4-dimethoxybenzylamine)piperazine, m. 199-202° (decomposition). Other secondary aminopiperidines and aminopiperazines were given in a table. Attempts to **reduce** imines derived from 1-phenyl-2-propanone and 1-substituted 4-aminopiperidines with NaBH4 did not lead to desired products, probably because of cleavage of the unstable imines. 3-Aminopyridine (16.8 g.) and 30 g. veratraldehyde in 500 ml. xylene refluxed 24 hrs. and the 45.5 g. residual oily imine in MeOH reduced with NaBH4 gave 33 g. 3-(3,4-dimethoxybenzylamino)pyridino (V), m. 123-5° (MeOH). The other pyridines were similarly prepared The following RNHR' were thus obtained (R, R', % yield, and m.p. given): 3,4-dimethoxybenzyl, 1-methyl-4-piperidyl, 60, 254-6° (decomposition); 3,4,5-trimethoxybenzyl, 1-methyl-4-piperidyl, 37, 264-5° (decomposition); 3,4-dimethoxybenzyl, 1-(β-hydroxyethyl)-4-piperidyl, 12, 255-6° (decomposition); 4-methoxybenzyl, 1-(3,4-dimethoxybenzyl)-4-piperidyl, 46, 274-5° (decomposition); 3,4,5-trimethoxybenzyl, 1-methyl-4-piperazyl, 56, 135-7°; p-dimethylaminobenzyl, 1-methyl-4-piperazyl, 40, 125-7° (157-60°); 3-pyridylmethyl, 1-methyl-4-piperazyl, 95, 201-2° (220-6° with 0.5H2O); 1-hydroxy-1-phenyl-2-propyl, 1-methyl-4-piperazyl, 25, 219-21° (decomposition); 3,4-dimethoxybenzyl, 2-pyridyl, 65, 102-3°; 3,4,5-trimethoxybenzyl, 2-pyridyl, 45, 167-8°; p-dimethylaminobenzyl, 2-pyridyl, 52, 125-6°; 3,4,5-trimethoxybenzyl, 3-pyridyl, 63, 109-10°; 3,4,5-trimethoxybenzyl, 3-pyridylmethyl, 90, 205-7°; p-dimethylaminobenzyl, 3-pyridylmethyl, 96, 185-6° (decomposition); 3,4-dimethoxybenzyl, 4-pyridylmethyl, 22, 200° (decomposition); 3,4,5-trimethoxyhenzyl, 4-pyridylmethyl, 43, 214-16°; p-dimethylaminobenzyl, 4-pyridylmethyl, 45, 195-6°; 1-phenyl-2-propyl, 3-pyridylmethyl, 55, 205-7°; 1-phenyl-2-propyl, 4-pyridylmethyl, 80, 181-3°; 3,4,5-trimethoxybenzyl, NMe2, 45, 81-3; p-dimethylaminobenzyl, NMe2, 7, 158-61° (decomposition); 1-phenyl-2-propyl, NMe2, 70, 123-5°; 1,2-diphenylethyl, NMe2, 23,

183-5°; PhCH:CHCHMe, NMe2, 5, 117-20° (decomposition). V (14.1 g.) converted rapidly to the MeI salt, evaporated, the crystals suspended in 200 ml. MeOH, reduced with 125 g. NaBH4, and the residual oil treated with HCl gave 14.6 g. 1-methyl-3-(3,4-dimethoxybenzylamino)piperidine-2HCl, m. 233-5° (decomposition). 3-Aminopiperidine (7.6 g.), 12.7 g. veratraldehyde, and 250 ml. PhMe refluxed 3.5 hrs., the crude imine reduced with NaBH4 in alc., and crystallized gave 20.6 g. V.2HCl, m. 229-31° (alc.). **Reduction** of p-dimethylaminobenzylidene derivative and isolation gave 76% 3-(4-dimethylaminobenzylamino)piperidine, no definite m.p. 3-(3-Pyridylmethylamino)piperidine was obtained in 79% yield by **reduction** of the 3-pyridylidene derivative and isolated as the tri-HCl salt. Veratraldehyde (16.3 g.) and 6.5 g. N,N-dimethylhydrazine mixed, the oil taken up in 200 ml. C6H6, the solution refluxed 4 hrs., evaporated, and the hydrazone reduced in MeOH with NaBH4 gave 13.9 g. N,N-dimethyl-N-(3,4-dimethoxybenzyl)hydrazine-HCl, m. 172-4.5°. The other hydrazine derivs. above were prepared by the same **method**

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L7 ANSWER 4 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:433796 CAPLUS
DOCUMENT NUMBER: 141:7031
TITLE: A preparation of 3-amino-piperidine derivatives, useful as inhibitors of Janus kinase 3
INVENTOR(S): Ripin, David H. B.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 16 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004102627	A1	20040527	US 2003-717958	20031120
CA 2506016	AA	20040603	CA 2003-2506016	20031110
WO 2004046112	A2	20040603	WO 2003-IB5151	20031110
WO 2004046112	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,

GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

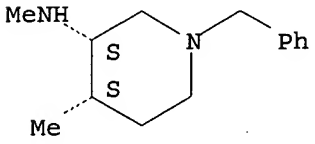
PRIORITY APPLN. INFO.: US 2002-428324P P 20021121
WO 2003-IB5151 W 20031110

OTHER SOURCE(S): MARPAT 141:7031

IT **694495-62-0P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine derivs. useful as inhibitors of Janus kinase 3)

RN 694495-62-0 CAPLUS
CN 3-Piperidinamine, N,4-dimethyl-1-(phenylmethyl)-, monohydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

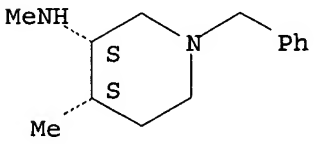


● HCl

IT **477600-69-4P 694495-65-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopiperidine derivs. useful as inhibitors of Janus kinase 3)

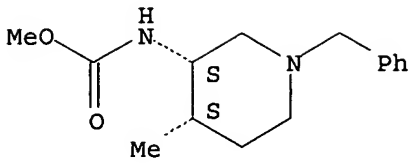
RN 477600-69-4 CAPLUS
CN 3-Piperidinamine, N,4-dimethyl-1-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 694495-65-3 CAPLUS
CN Carbamic acid, [(3R,4R)-4-methyl-1-(phenylmethyl)-3-piperidinyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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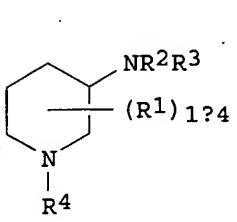

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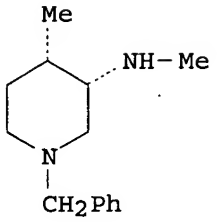
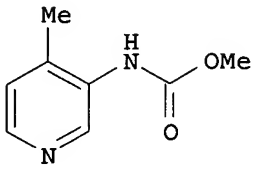


II



III

AB The invention relates to a preparation of 3-aminopiperidine derivs. of formula I [wherein: R1 is carboxy, cyano, deuterium, alkyl, alkoxy, acyl, or alkylamino, etc.; R2 is H, alkyl, alkylsulfonyl, or alk(en/yn)yl, etc.; R3 is H, (cyclo)alkyl, (un)substituted alk(en/yn)yl; R4 is CO2R5 or CH2R6; R5 is (cyclo)alkyl, (un)substituted alk(en/yn)yl; R6 is alk(en/yn)yl, (hetero)aryl, or carboalkoxy, etc.], useful as inhibitors of Janus kinase 3. The prepared compds. were screened for JAK3 inhibition and inhibition of human IL-2 dependent T-cell blast proliferation (no biol. data). For instance, piperidine derivative II•HCl was prepared via N-carboxylation of the amine-group of 4-methyl-3-aminopyridine by Me2CO3, stereoselective pyridine-ring hydrogenation of the obtained carbamate III, N-benzylation of the piperidine ring, **reduction**, and subsequent hydrochlorination (example 1).

L7 ANSWER 5 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:182836 CAPLUS
DOCUMENT NUMBER: 140:235711
TITLE: Preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase
INVENTOR(S): Barsanti, Paul A.; Bussiere, Dirksen; Harrison, Stephen D.; Heise, Carla C.; Jansen, Johanna M.; Jazan, Elisa; Machajewski, Timothy D.; Mcbride, Christopher; McCrea, William R.; Ng, Simon; Ni, Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy, Savithri; Renhowe, Paul A.; Shafer, Cynthia M.; Silver, Joel B.; Wagman, Allan; Weismann, Marion

PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 570 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018419	A2	20040304	WO 2003-US25990	20030819
WO 2004018419	A3	20040603		
WO 2004018419	B1	20040729		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2496164	AA	20040304	CA 2003-2496164	20030819
US 2004092535	A1	20040513	US 2003-644055	20030819
EP 1539754	A2	20050615	EP 2003-781286	20030819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013743	A	20050705	BR 2003-13743	20030819

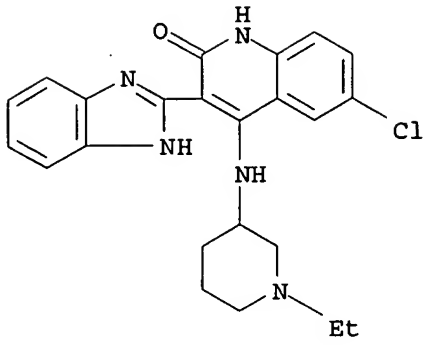
PRIORITY APPLN. INFO.:

US 2002-405729P	P	20020823
US 2002-426107P	P	20021113
US 2002-426226P	P	20021113
US 2002-426282P	P	20021113
US 2002-428210P	P	20021121
US 2003-460327P	P	20030403
US 2003-460328P	P	20030403
US 2003-460493P	P	20030403
US 2003-478916P	P	20030616
US 2003-484048P	P	20030701
WO 2003-US25990	W	20030819

OTHER SOURCE(S): MARPAT 140:235711

IT **668425-01-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 668425-01-2 CAPLUS
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-chloro-4-[(1-ethyl-3-piperidinyl)amino]- (9CI) (CA INDEX NAME)



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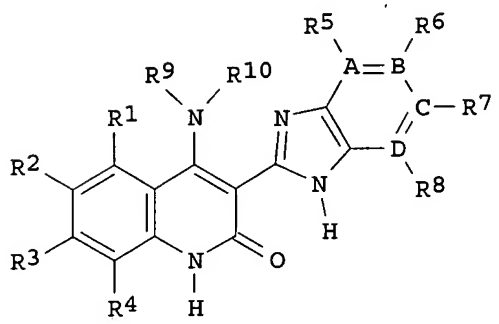

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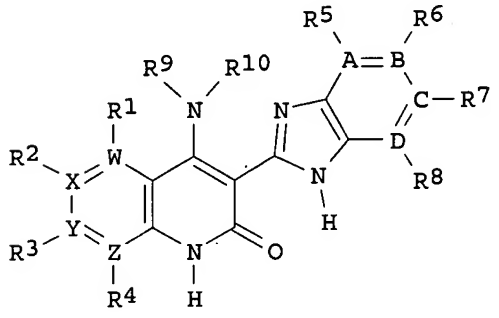


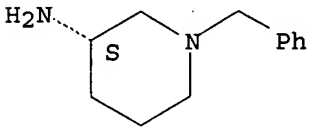
II

AB The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared E.g., a multi-step **synthesis** of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1,

VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM.

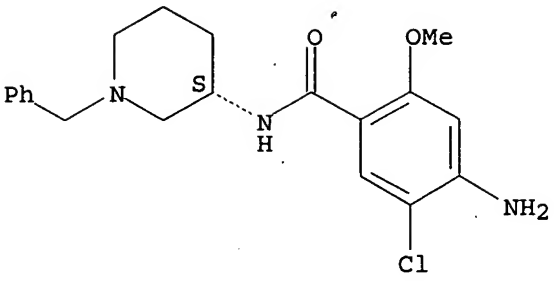
L7 ANSWER 6 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:689660 CAPLUS
DOCUMENT NUMBER: 139:364791
TITLE: Stereocontrolled dopamine receptor binding and subtype selectivity of clebopride analogues **synthesized** from aspartic acid
AUTHOR(S): Einsiedel, Juergen; Weber, Klaus; Thomas, Christoph; Lehmann, Thomas; Huebner, Harald; Gmeiner, Peter
CORPORATE SOURCE: Emil Fischer Center, Department of Medicinal Chemistry, Friedrich Alexander University, Erlangen, D-91052, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(19), 3293-3296
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:364791
IT **168466-85-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(acylation of; preparation of benzamide derivs. as clebopride analogs from aspartic acid and their stereocontrolled dopamine receptor binding and subtype selectivity)
RN 168466-85-1 CAPLUS
CN 3-Piperidinamine, 1-(phenylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



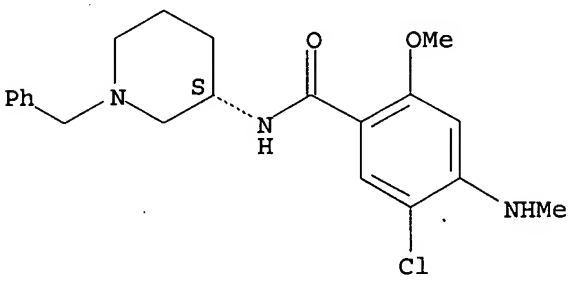
IT **620166-23-6P 620166-25-8P 620166-48-5P 620166-49-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of benzamide derivs. as clebopride analogs from aspartic acid and their stereocontrolled dopamine receptor binding and subtype selectivity)
RN 620166-23-6 CAPLUS
CN Benzamide, 4-amino-5-chloro-2-methoxy-N-[(3S)-1-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



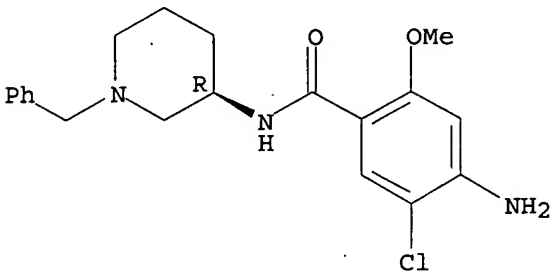
RN 620166-25-8 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-4-(methylamino)-N-[(3S)-1-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



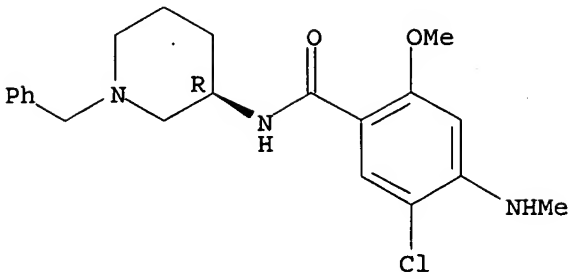
RN 620166-48-5 CAPLUS
CN Benzamide, 4-amino-5-chloro-2-methoxy-N-[(3R)-1-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



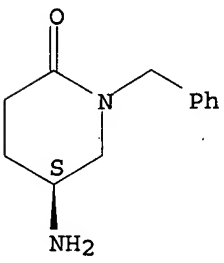
RN 620166-49-6 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-4-(methylamino)-N-[(3R)-1-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **620165-92-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**reduction** of; preparation of benzamide derivs. as clebopride analogs from aspartic acid and their stereocontrolled dopamine receptor binding and subtype selectivity)
RN 620165-92-6 CAPLUS
CN 2-Piperidinone, 5-amino-1-(phenylmethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



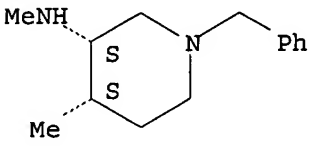
AB Employing the achiral 4-aminopiperidine derivative clebopride as a lead compound, chiral analogs were developed displaying dopamine receptor binding profiles that proved to be strongly dependent on the stereochem. Compared to the D1 receptor, the test compds. showed high selectivity for the D2-like subtypes including D2long, D2short, D3 and D4. The highest D4 and D3 affinities were observed for the cis-3-amino-4-methylpyrrolidines and the enantiomer ent3e resulting in Ki values of 0.23 and 1.8 nM, resp. Some benzamides were **synthesized** in enantiopure form starting from (S)-aspartic acid and its unnatural optical antipode.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:909780 CAPLUS
DOCUMENT NUMBER: 138:137134
TITLE: Development of a Scaleable Route for the Production of cis-N-Benzyl-3-methylamino-4-methylpiperidine
AUTHOR(S): Ripin, David H. Brown; Abele, Stefan; Cai, Weiling; Blumenkopf, Todd; Casavant, Jeffrey M.; Doty, Jonathan L.; Flanagan, Mark; Koecher, Christian; Laue, Klaus W.; McCarthy, Keith; Meltz, Cliff; Munchhoff, Mike; Pouwer, Kees; Shah, Bharat; Sun, Jianmin; Teixeira, John; Vries, Ton; Whipple, David A.; Wilcox, Glenn
CORPORATE SOURCE: Chemical Research and Development Pfizer Global

Research Division, Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Organic Process Research & Development (2003), 7(1), 115-120
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:137134

IT **477600-68-3P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(large-scale stereoselective preparation of cis-1-benzyl-4-methyl-3-(methylamino)piperidine)
RN 477600-68-3 CAPLUS
CN 3-Piperidinamine, N,4-dimethyl-1-(phenylmethyl)-, dihydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

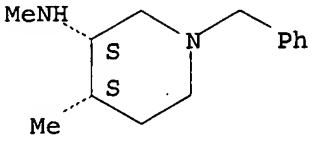
Relative stereochemistry.



●2 HCl

IT **477600-69-4P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(large-scale stereoselective preparation of cis-1-benzyl-4-methyl-3-(methylamino)piperidine)
RN 477600-69-4 CAPLUS
CN 3-Piperidinamine, N,4-dimethyl-1-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



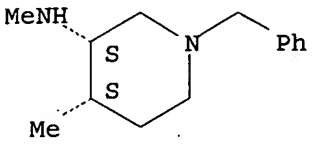
IT **493040-24-7P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(resolution in the large-scale stereoselective preparation of nonracemic cis-1-benzyl-4-methyl-3-(methylamino)piperidine)
RN 493040-24-7 CAPLUS
CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, (2R,3R)-, compd. with rel-(3R,4R)-N,4-dimethyl-1-(phenylmethyl)-3-piperidinamine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 477600-69-4

CMF C14 H22 N2

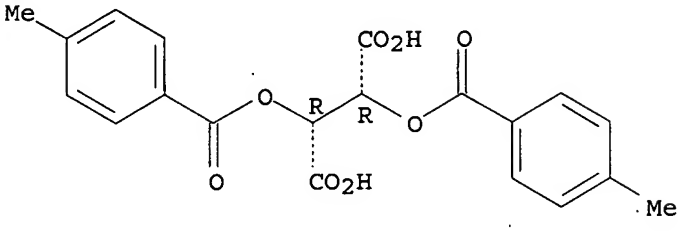
Relative stereochemistry.



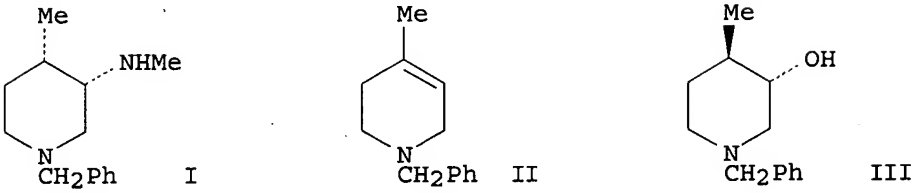
CM 2

CRN 32634-66-5
CMF C20 H18 O8

Absolute stereochemistry. Rotation (-).



GI



AB Cis-N-benzyl-3-methylamino-4-methylpiperidine I was prepared in >10 kg quantities by a six-step route. Benzylation of 4-methylpyridine followed by **reduction** with sodium borohydride in ethanol provided methylbenzyltetrahydropyridine II in good yield and purity. Complexation of II with boron trifluoride etherate followed by hydroboration with borane-THF complex, oxidation, and workup provided the piperidinol III as the major isomer; oxidation to the ketone and reductive amination with methylamine and sodium triacetoxyborohydride then provided I. I was resolved with p-toluoyl-L-tartaric acid to provide nonracemic I in 99.2% ee. The hydroboration-oxidation and reductive amination reactions and their workups were optimized carefully. Alternative routes to I were studied.

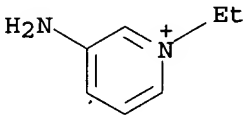
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:301818 CAPLUS
DOCUMENT NUMBER: 136:327130

TITLE: Waterborne color ink sets for ink-jet printing and **method** for their use
INVENTOR(S): Horinouchi, Kyoko; Suzuki, Atsushi; Hashimoto, Takeshi
PATENT ASSIGNEE(S): Fuji Xerox Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002121434	A2	20020423	JP 2000-312311	20001012

PRIORITY APPLN. INFO.: JP 2000-312311 20001012
IT **179912-77-7**, 3-Amino-N-ethylpyridinium bromide
RL: MOA (Modifier or additive use); USES (Uses)
(surface modifiers; waterborne color ink sets for ink-jet printing and **method** for use)
RN 179912-77-7 CAPLUS
CN Pyridinium, 3-amino-1-ethyl-, bromide (9CI) (CA INDEX NAME)



● Br^-

AB The inks sets comprise a black ink and at least a cyan ink, a magenta ink and a yellow ink where the black and color inks contain self-dispersible pigments having dispersed particles with volume-average diameter (Dv) 20-150 nm, and Dv/Dn (Dn = the number-average particle diameter) of 1.5-3.0:1 and surface tension 25-55 mN/m and 25-45 mN/m for black ink and color inks, resp., for improving storage and ejection stability and **reducing** color smear.

L7 ANSWER 15 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:50636 CAPLUS
DOCUMENT NUMBER: 134:115797
TITLE: **Synthesis** and GlcCer synthase inhibition of amino ceramide-like compounds
INVENTOR(S): Shayman, James A.; Radin, Norman S.
PATENT ASSIGNEE(S): Regents of the University of Michigan, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001004108	A1	20010118	WO 2000-US18935		20000707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2378600	AA	20010118	CA 2000-2378600		20000707
EP 1196406	A1	20020417	EP 2000-945332		20000707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 2000012318	A	20020528	BR 2000-12318		20000707
JP 2003521479	T2	20030715	JP 2001-509718		20000707
AU 774960	B2	20040715	AU 2000-59296		20000707
US 6890949	B1	20050510	US 2001-30963		20000707
PRIORITY APPLN. INFO.:			US 1999-350678	A1	19990709
			US 1999-350768	A	19990709
			WO 2000-US18935	W	20000707

OTHER SOURCE(S): MARPAT 134:115797

IT **189164-46-3P**

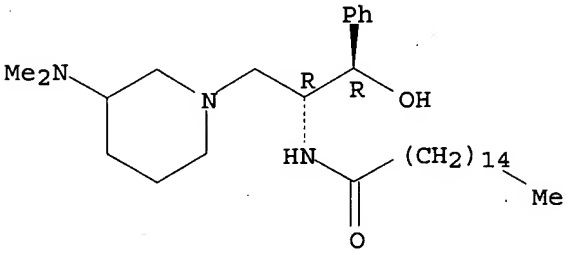
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(**synthesis** and GlcCer synthase inhibition of amino ceramide-like compds.)

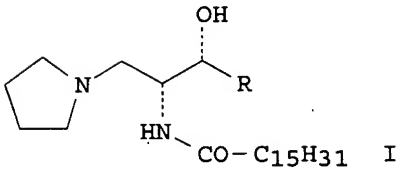
RN 189164-46-3 CAPLUS

CN Hexadecanamide, N-[(1R,2R)-1-[[3-(dimethylamino)-1-piperidinyl]methyl]-2-hydroxy-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.



GI



I

AB **Synthesis** of amino ceramide-like compds. (I) (R = 3,4-ethylenedioxyphenyl, 4-hydroxyphenyl) are disclosed which inhibit

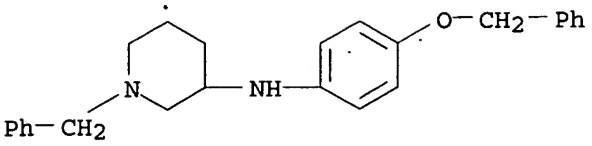
glucosyl ceramide (GlcCer) formation by inhibiting the enzyme GlcCer synthase, thereby lowering the level of glycosphingolipids. Thus, I (R = 4-HOC6H4) (II) is prepared from 4-hydroxyacetophenone by hydroxy protection with benzyl bromide followed by bromination of acetyl, amination of bromide, amidation with palmitoyl chloride, condensation with formaldehyde and pyrrolidine, ketone **reduction**, debenzylation and resolution with chiral chromatog. II shows an IC50 of 0.5 in GlcCer synthase inhibition assay. The compds. of the present invention have improved GlcCer synthase inhibition activity and are therefore highly useful in therapeutic **methods** for treating various conditions and diseases associated with altered glycosphingolipid levels.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

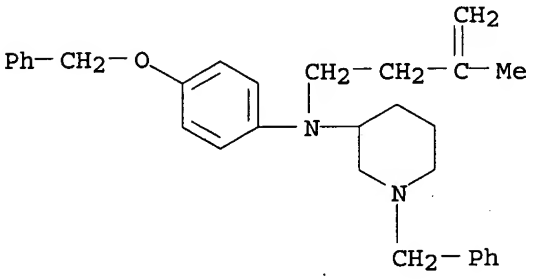
L7 ANSWER 20 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:126886 CAPLUS
DOCUMENT NUMBER: 130:196584
TITLE: Preparation of aniline derivatives as calcium channel blockers
INVENTOR(S): Hu, Lain-Yen; Rafferty, Michael Francis; Ryder, Todd Robert
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9907689	A1	19990218	WO 1998-US15907		19980729
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9887627	A1	19990301	AU 1998-87627		19980729
ZA 9807144	A	19990510	ZA 1998-7144		19980807
US 6251918	B1	20010626	US 1999-402196		19990929
US 2001023249	A1	20010920	US 2001-769798		20010125
US 6495715	B2	20021217			
US 2003060632	A1	20030327	US 2002-252854		20020923
PRIORITY APPLN. INFO.:			US 1997-55251P	P	19970811
			US 1998-82358P	P	19980420
			WO 1998-US15907	W	19980729
			US 1999-402196	A3	19990929
			US 2001-769798	A3	20010125

OTHER SOURCE(S): MARPAT 130:196584
IT **220741-70-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(N-alkenylation; preparation of aniline derivs. as calcium channel blockers)
RN 220741-70-8 CAPLUS
CN 3-Piperidinamine, N-[4-(phenylmethoxy)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

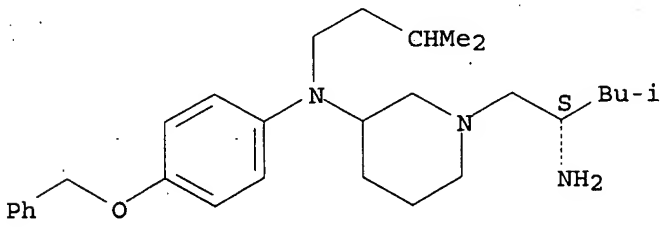


IT **220741-71-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(debenzylation; preparation of aniline derivs. as calcium channel blockers)
RN 220741-71-9 CAPLUS
CN 3-Piperidinamine, N-(3-methyl-3-butenyl)-N-[4-(phenylmethoxy)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



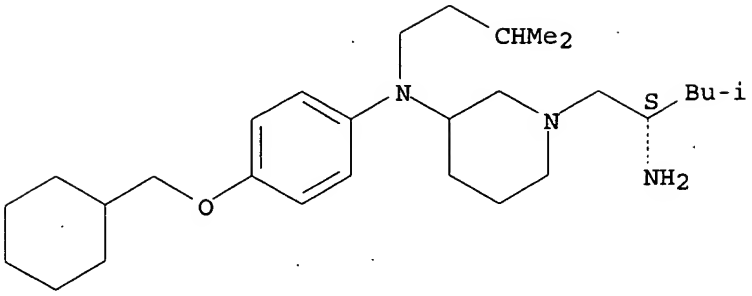
IT **220739-46-8P 220739-48-0P 220739-50-4P**
220739-53-7P 220739-55-9P 220739-57-1P
220739-59-3P 220739-61-7P 220739-64-0P
220739-65-1P 220739-67-3P 220739-72-0P
220739-76-4P 220739-80-0P 220739-83-3P
220739-87-7P 220739-91-3P 220740-41-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aniline derivs. as calcium channel blockers)
RN 220739-46-8 CAPLUS
CN 1-Piperidineethanamine, 3-[(3-methylbutyl)[4-(phenylmethoxy)phenyl]amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



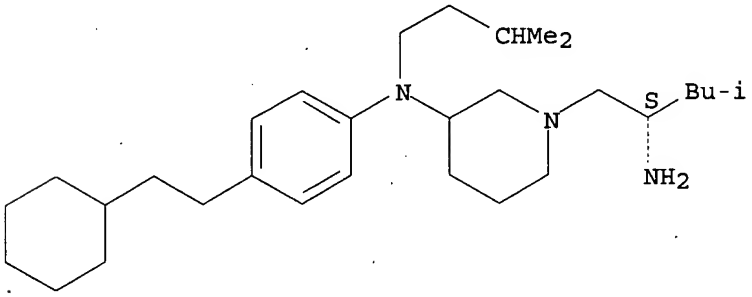
RN 220739-48-0 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-(cyclohexylmethoxy)phenyl](3-methylbutyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



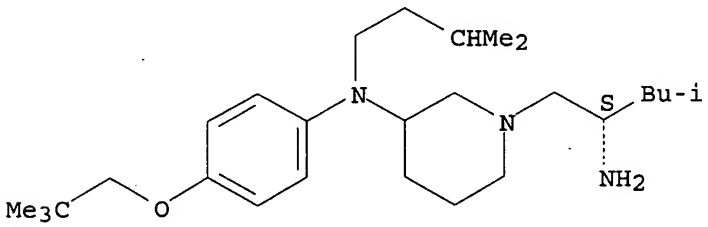
RN 220739-50-4 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-(2-cyclohexylethyl)phenyl](3-methylbutyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



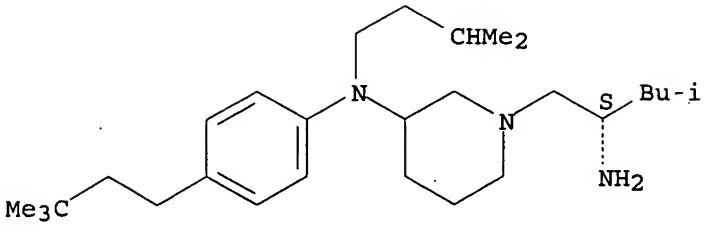
RN 220739-53-7 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-(2,2-dimethylpropoxy)phenyl](3-methylbutyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



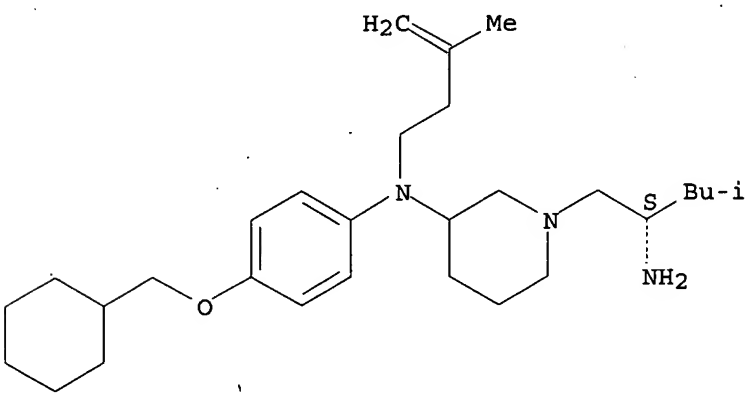
RN 220739-55-9 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-(3,3-dimethylbutyl)phenyl](3-methylbutyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



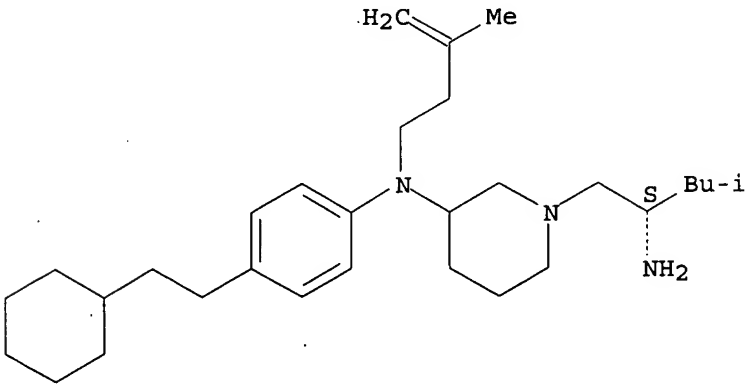
RN 220739-57-1 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-(cyclohexylmethoxy)phenyl](3-methyl-3-butenyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220739-59-3 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-(2-cyclohexylethyl)phenyl](3-methyl-3-butenyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

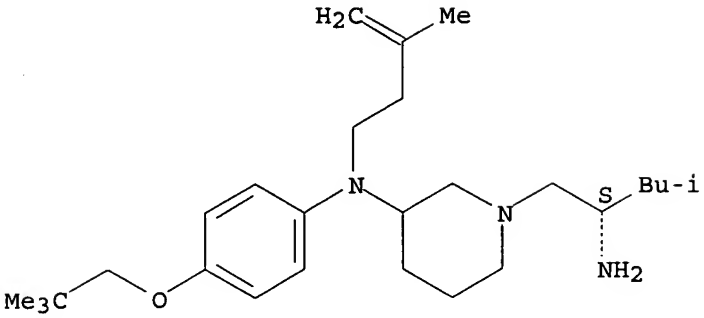
Absolute stereochemistry.



RN 220739-61-7 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-(2,2-dimethylpropoxy)phenyl](3-methyl-3-butenyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX

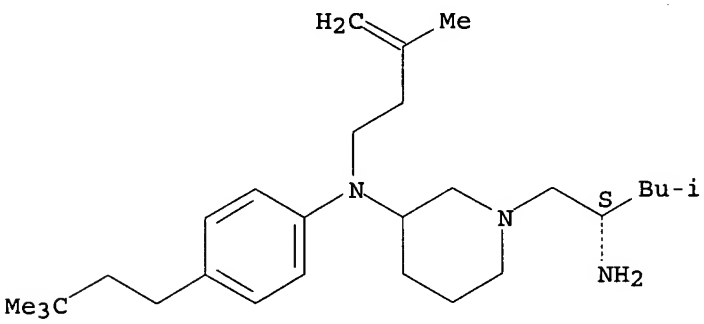
NAME)

Absolute stereochemistry.



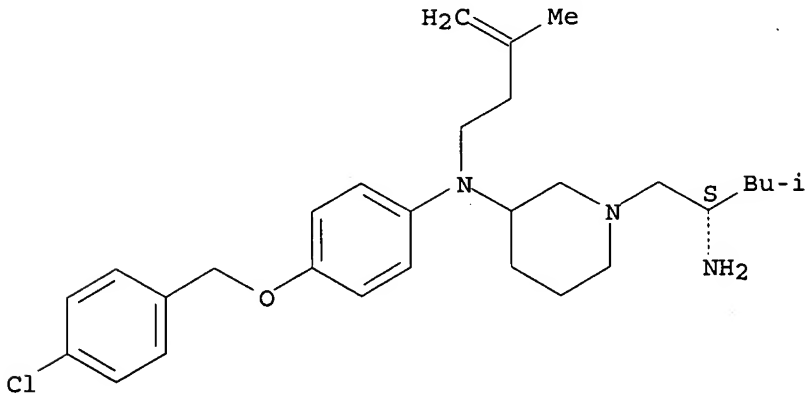
RN 220739-64-0 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-(3,3-dimethylbutyl)phenyl](3-methyl-3-butenyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



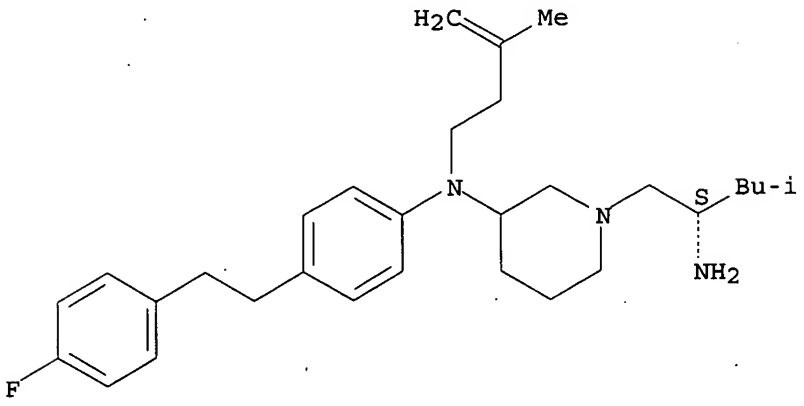
RN 220739-65-1 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-[(4-chlorophenyl)methoxy]phenyl](3-methyl-3-butenyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



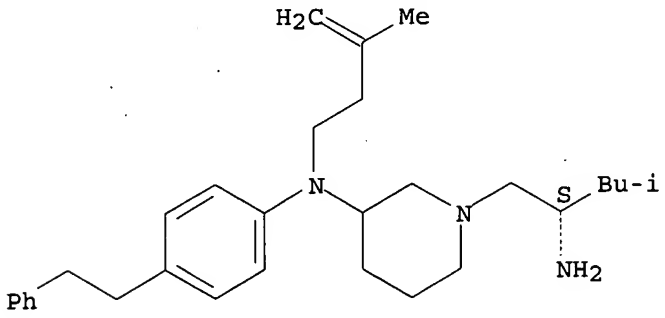
RN 220739-67-3 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-[2-(4-fluorophenyl)ethyl]phenyl](3-methyl-3-butenyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



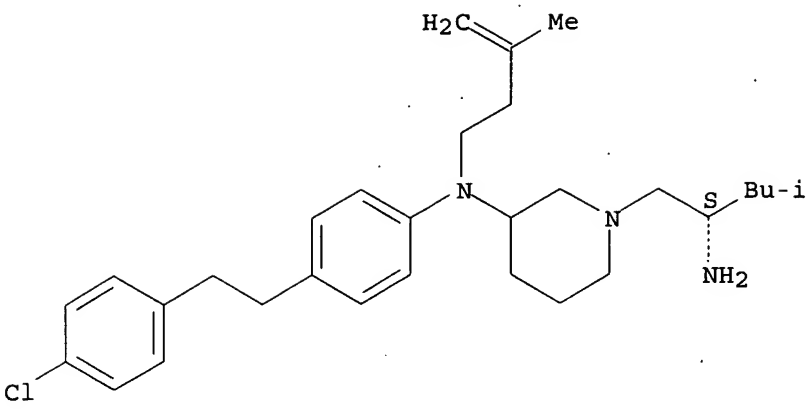
RN 220739-72-0 CAPLUS
CN 1-Piperidineethanamine, 3-[(3-methyl-3-butenyl)[4-(2-phenylethyl)phenyl]amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



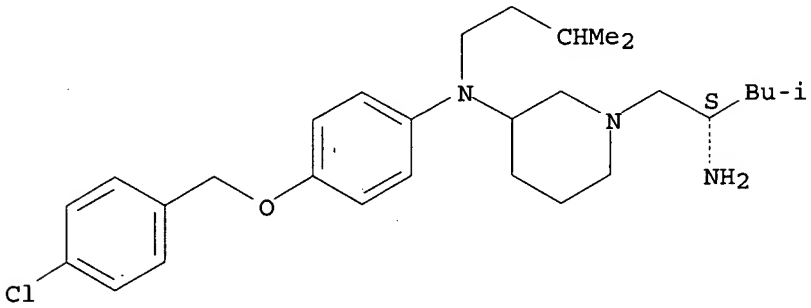
RN 220739-76-4 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-[2-(4-chlorophenyl)ethyl]phenyl](3-methyl-3-butenyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



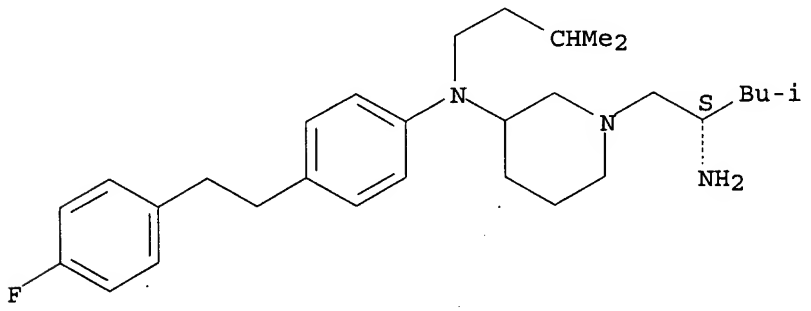
RN 220739-80-0 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-[(4-chlorophenyl)methoxy]phenyl](3-methylbutyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



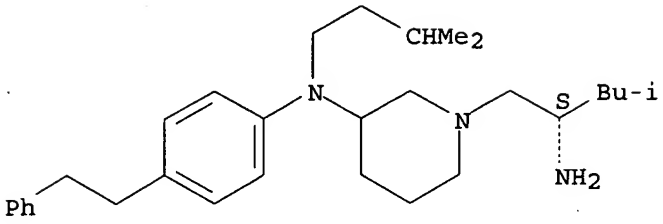
RN 220739-83-3 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-[2-(4-fluorophenyl)ethyl]phenyl](3-methylbutyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



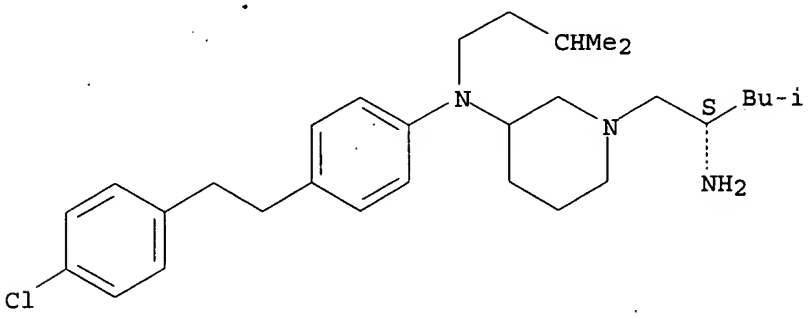
RN 220739-87-7 CAPLUS
CN 1-Piperidineethanamine, 3-[(3-methylbutyl)[4-(2-phenylethyl)phenyl]amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



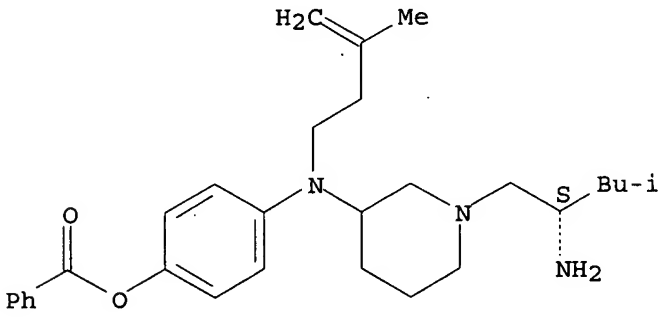
RN 220739-91-3 CAPLUS
CN 1-Piperidineethanamine, 3-[[4-[2-(4-chlorophenyl)ethyl]phenyl](3-methylbutyl)amino]-α-(2-methylpropyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

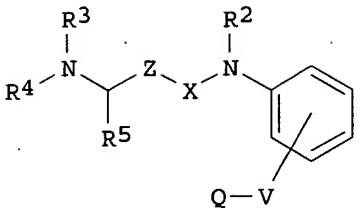


RN 220740-41-0 CAPLUS
CN Phenol, 4-[[1-[(2S)-2-amino-4-methylpentyl]-3-piperidinyl](3-methyl-3-butenyl)amino]-, benzoate (ester) (9CI) (CA INDEX NAME)

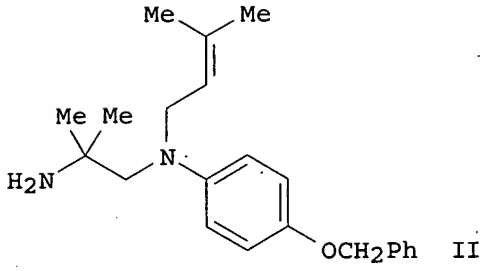
Absolute stereochemistry.



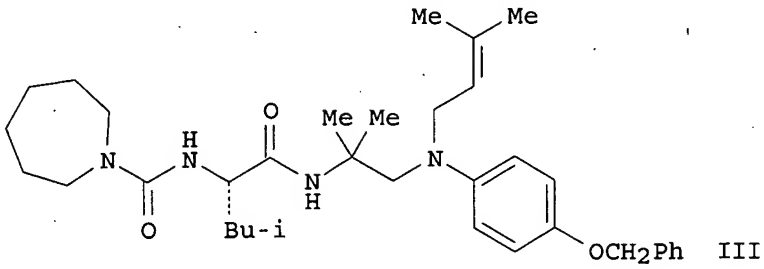
GI



I



II



III

AB The invention provides compds. that block calcium channels. In particular, the invention claims compds. I [Z = CH2 or CO; X = cycloalkylene, (un)substituted heterocycloalkylene, imino or iminoalkylene, certain piperidinediyl or pyrrolidinediyl radicals or their alkylene derivs.; Q = H, (un)substituted aryl, heteroaryl, cycloalkyl, alkyl, heterocycloalkyl; V = O(CH2)n or (CH2)nO, O, (CH2)n, CH:CH, NH(CH2)n or (CH2)nNH or derivs.; R2 = H, alkenyl, cycloalkenyl, (un)substituted Ph, alkyl, cycloalkyl, or Ph; R3 = H, alkyl, alkenyl; R4 = H, cyclo-(CH2)mNCO, alkyl, alkenyl, (un)substituted Ph, heteroaryl, or cycloalkyl; or NR3R4 = 5- to 7-membered ring with an optional addnl. heteroatom; R5 = alkyl, (un)substituted Ph or heteroaryl; m = 1-3; n = 0-3] and their pharmaceutically acceptable salts, esters, amides, and prodrugs. The invention also provides **methods** of using the compds. to treat stroke, cerebral ischemia, head trauma, or epilepsy, and to pharmaceutical compns. that contain the compds. Over 50 synthetic examples are given, and these plus a large number of addnl. invention compds. are specifically claimed. For instance, N-BOC-α-aminoisobutyric acid underwent amidation with 4-benzyloxyaniline, followed by **redn**. of the amide with diborane, N-alkenylation with 4-bromo-2-methyl-2-butene, and acidic deprotection to remove BOC, to give intermediate II. In a sep. preparation, H-Leu-OCH2Ph was treated with triphosgene and hexamethylenamine, then deprotected, to give Hac-Leu-OH (III; Hac = hexamethylenaminocarbonyl). Coupling of II with III using HBTU and DIPEA in DMF gave title compound IV. The latter blocked calcium flux through N-type Ca2+ channels in IMR-32 neuronal tumor cells in vitro, with IC50 of 0.26 μM. Selected compds. gave 20-100% protection of mice from tonic seizures in a sound chamber, at doses of 10-30 mg/kg i.v.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:321421 CAPLUS
DOCUMENT NUMBER: 126:288113
TITLE: Aminoceramide-like compounds and therapeutic

	methods of use
INVENTOR(S):	Shayman, James A.; Radin, Norman S.
PATENT ASSIGNEE(S):	Regents of the University of Michigan, USA
SOURCE:	PCT Int. Appl., 46 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9710817	A1	19970327	WO 1996-US14219	19960905
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1995-4047P	P 19950920

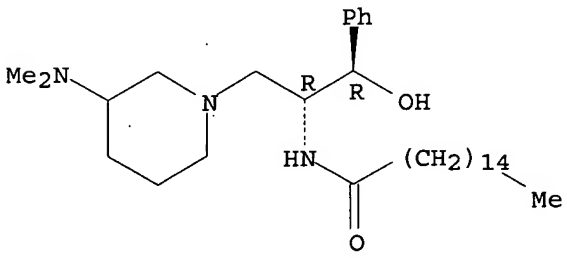
OTHER SOURCE(S): MARPAT 126:288113

IT **189164-46-3**, BML 121
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aminoceramide-like compds. and therapeutic **methods** of use)

RN 189164-46-3 CAPLUS

CN Hexadecanamide, N-[(1R,2R)-1-[[3-(dimethylamino)-1-piperidinyl]methyl]-2-hydroxy-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

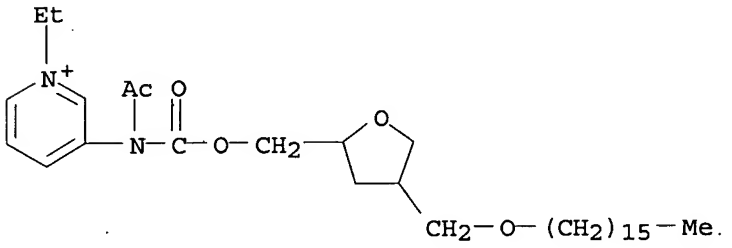


AB Aminoceramide-like compds. are provided which inhibit glucosylceramide (GlcCer) formation by inhibiting the enzyme GlcCer synthase, thereby lowering the level of glycosphingolipids. The compds. of the invention have improved GlcCer synthase inhibition activity and are therefore highly useful in therapeutic **methods** for treating various conditions and diseases associated with altered glycosphingolipid levels.

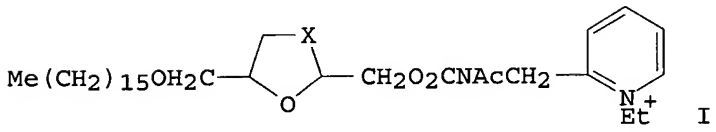
L7 ANSWER 34 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1991:101785 CAPLUS
DOCUMENT NUMBER:	114:101785
TITLE:	Disubstituted tetrahydrofurans and dioxolanes as platelet activating factor (PAF) antagonists
AUTHOR(S):	Bartroli, Javier; Carceller, Elena; Merlos, Manuel; Garcia-Rafanell, Julian; Forn, Javier
CORPORATE SOURCE:	Chem. Lab., J. Uriach and Cia S. A., Barcelona, 08026, Spain
SOURCE:	Journal of Medicinal Chemistry (1991), 34(1), 373-86 CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:	Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:101785
IT **131830-70-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and platelet activating factor antagonist and antihypertensive activity of)
RN 131830-70-1 CAPLUS
CN Pentitol, 1,4-anhydro-2,3-dideoxy-2-[(hexadecyloxy)methyl]-, acetyl(1-ethylpyridinium-3-yl)carbamate, iodide (9CI) (CA INDEX NAME)



GI



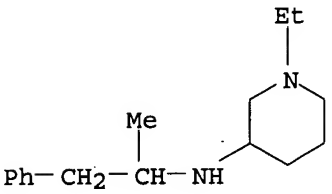
AB A new series of disubstituted THF and dioxolane derivs., including I (X = CH2, O), were prepared by a number of synthetic approaches and evaluated for their PAF antagonist activity in in vitro platelet-aggregation and in vivo hypotension PAF-induced tests. Several of these compds. such as I (X = CH2) exhibited more potent activity than structurally related 2-[N-acetyl-N-[[[2-methoxy-3-[(octadecylcarbamoyl)oxy]propoxy]carbonyl]amino]methyl]-1-ethylpyridinium chloride (CV-6209) in the in vitro assay, whereas all showed less potency in the in vivo test. The role of both the substituent nature and the placement and number of oxygen atoms in the ring are discussed. A qual. structure activity relationship study was carried out on these nuclei.

L7 ANSWER 35 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:42513 CAPLUS
DOCUMENT NUMBER: 114:42513
TITLE: **Synthesis** of piperidine derivatives as potential analgesic agents
AUTHOR(S): Jilek, Jiri; Rajsner, Mirolsav; Valenta, Vladimir; Borovicka, Milos; Holubek, Jiri; Ryska, Miroslav; Svatek, Emil; Metys, Jan; Protiva, Miroslav
CORPORATE SOURCE: Res. Inst. Pharm. Biochem., Prague, 130 60, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications (1990), 55(7), 1828-53
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:42513

IT **130820-17-6P 130820-43-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activities of)

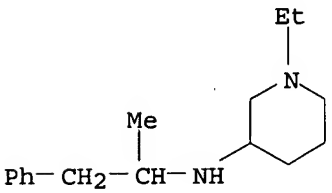
RN 130820-17-6 CAPLUS
CN 3-Piperidinamine, 1-ethyl-N-(1-methyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 130820-43-8 CAPLUS
CN 3-Piperidinamine, 1-ethyl-N-(1-methyl-2-phenylethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

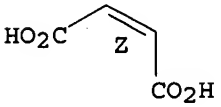
CRN 130820-17-6
CMF C16 H26 N2



CM 2

CRN 110-16-7
CMF C4 H4 O4

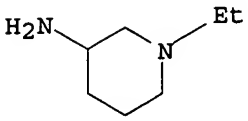
Double bond geometry as shown.



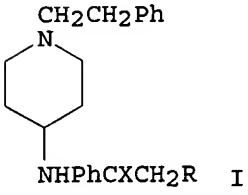
IT **6789-94-2**, 3-Amino-1-ethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)

(sequential condensation with phenylacetone and hydride **reduction** of)

RN 6789-94-2 CAPLUS
CN 3-Piperidinamine, 1-ethyl- (9CI) (CA INDEX NAME)



GI



AB Forty piperidine derivs. were prepared and tested for analgesic activity. Only the fentanyl analogs I (X = O, R = OMe, SMe: X = S, R = Me) showed strong analgesic activity.

L7 ANSWER 36 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:611828 CAPLUS
DOCUMENT NUMBER: 113:211828
TITLE: Preparation of 1-(aminoalkyl)indoles useful as analgesic agents or as intermediates and their production **processes**
INVENTOR(S): Bell, Malcolm R.
PATENT ASSIGNEE(S): Sterling Drug Inc., USA
SOURCE: Can., 114 pp. Division of Can. Appl. No. 488,073.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1258070	A2	19890801	CA 1988-576124	19880830
US 4581354	A	19860408	US 1985-755239	19850715
CA 1246563	A1	19881213	CA 1985-488073	19850802
US 4634776	A	19870106	US 1985-810942	19851219
US 32761	E	19881004	US 1987-29302	19870323
CA 1255305	A2	19890606	CA 1988-576122	19880830
CA 1255316	A2	19890606	CA 1988-576123	19880830
CA 1255312	A2	19890606	CA 1988-576125	19880830
CA 1258069	A2	19890801	CA 1988-576121	19880830
US 4885295	A	19891205	US 1988-255305	19881011
FI 8903253	A	19890704	FI 1989-3253	19890704
FI 8903254	A	19890704	FI 1989-3254	19890704
FI 8903255	A	19890704	FI 1989-3255	19890704
FI 8903256	A	19890704	FI 1989-3256	19890704

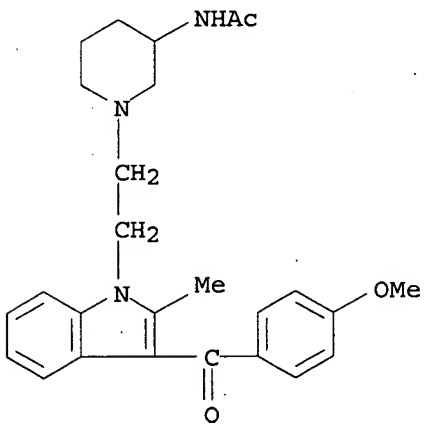
FI 8903257	A	19890704	FI 1989-3257		19890704
US 4978664	A	19901218	US 1989-409913		19890920
NO 9003304	A	19860207	NO 1990-3304		19900725
NO 9003305	A	19860207	NO 1990-3305		19900725
NO 9003306	A	19860207	NO 1990-3306		19900725
US 5013732	A	19910507	US 1990-559787		19900730
PRIORITY APPLN. INFO.:			US 1984-637931	A	19840806
			US 1985-755239	A	19850715
			CA 1985-488073	A3	19850802
			FI 1985-2973	A	19850801
			NO 1985-3066	A1	19850802
			US 1985-810942	A3	19851219
			US 1986-928335	A1	19861107
			US 1988-255305	A3	19881011
			US 1989-409913	A3	19890920

OTHER SOURCE(S): CASREACT 113:211828; MARPAT 113:211828

IT **103610-41-9P 103611-29-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as analgesic, antiinflammatory, and antirheumatic)

RN 103610-41-9 CAPLUS

CN Acetamide, N-[1-[2-[3-(4-methoxybenzoyl)-2-methyl-1H-indol-1-yl]ethyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

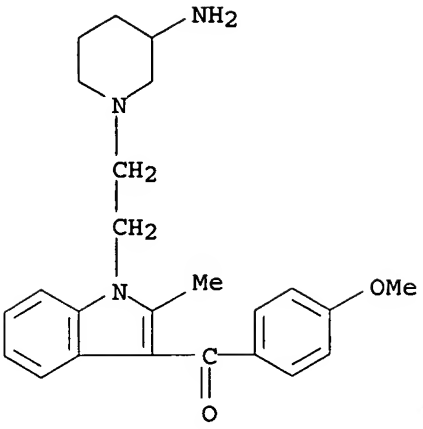


RN 103611-29-6 CAPLUS

CN Methanone, [1-[2-(3-amino-1-piperidinyl)ethyl]-2-methyl-1H-indol-3-yl](4-methoxyphenyl)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

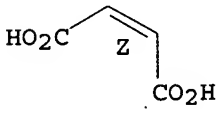
CRN 103611-28-5
CMF C24 H29 N3 O2



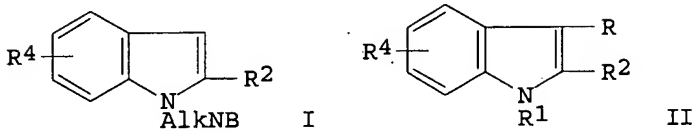
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



GI

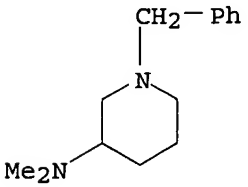


AB Title compds. I [R2 = H, alkyl, Cl, (un)substituted Ph, (un)substituted PhCH2; R4 = H, 1 or 2 substituents such as alkyl, HO, alkoxy, halo in 4-, 5-, 6-, or 7 position; alk = (un)substituted α,ω-alkylene (CH2)n; n = 2-6; NB = N3, H2N, alkylamino, hydroxyalkylamino, morpholino, thiomorpholino, piperidino, pyrrolidino, azetidino, pyrrolidino, 1-piperazinyl, hexahydro-4H-1,4-diazepinyl, their oxides, etc.] or an acid addition salt thereof, useful as analgesics (no data) are prepared II (R = R3CZ, R3COCH:CH, R3CO; R3 = cyclohexyl, heterocycylphenyl, aminomethylphenyl, (un)substituted styryl, biphenyl, (un)substituted naphthyl, heterocyclyl, etc.; CZ = CO, HONC; R1 = H, BNAlk, BNCH2CH(OH)CH2] were also prepared and found to possess analgesic, antiinflammatory and antirheumatic activities. II [R = 3-(O2N)C6H4CO; R1 = 2-morpholinoethyl; R2 = Me; R4 = H] in EtOAc and AcOH was reduced with H over Pt oxide to give 83% II [R = 3-(H2N)C6H4CO; R4 = morphoninoethyl; R2 = Me; R4 = H] (III). III, on oral administration, showed and ED50 in acetylcholine-induced abdominal constriction and antibradykinin test of 16 and 53 mg, resp., and on the rat paw flexion test 0.12% at 100 mg/kg.

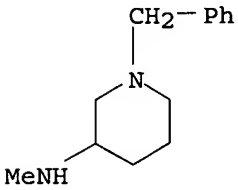
L7 ANSWER 51 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:582415 CAPLUS
DOCUMENT NUMBER: 85:182415
TITLE: Pharmaceutical compositions and **methods** of inhibiting gastric acid secretion
INVENTOR(S): Bender, Paul E.; Loev, Bernard
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3980788	A	19760914	US 1975-613689	19750915
PRIORITY APPLN. INFO.:			US 1975-613689 A	19750915

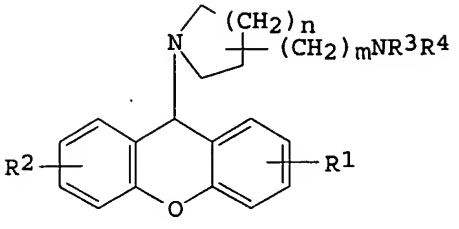
IT **60717-46-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenolysis of)
RN 60717-46-6 CAPLUS
CN 3-Piperidinamine, N,N-dimethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **60717-45-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)
RN 60717-45-5 CAPLUS
CN 3-Piperidinamine, N-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



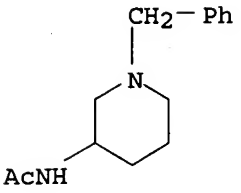
GI



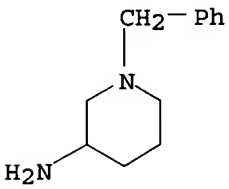
I

AB Pharmaceutical compns. having gastric acid secretion inhibitory activity comprising a pharmaceutical carrier and a xanthenylaminopiperidine or pyrrolidine compound (I: n = 1 or 2; m = 0, 1, 2, or 3; R1 = H, halogen, OH, lower alkyl, or lower alkoxy; R2 = H, halogen, lower alkyl, or lower alkoxy; R3 = lower alkyl; R4 = H, lower alkyl, or lower alkanoyl, or an acid addition salt) are reported. **Syntheses** of the compds. are also reported. E.g.,1-benzyl-3-piperidone [40114-49-6] was reacted with methylamine under **reducing** conditions and the resultant 1-benzyl-3-methylaminopiperidine [**60717-45-5**] reacted with formaldehyde under **reducing** conditions to give 1-benzyl-3-dimethylaminopiperidine [**60717-46-6**] which was hydrogenolyzed to give 3-dimethylaminopiperidine [50534-49-1]. Me isocyanate [624-83-9] was reacted with xanthydrol [90-46-0] and the resultant 9-(N-methylcarbamoyloxy)xanthene [30190-26-2] hydrolyzed and acetylated to give 9-acetoxyxanthene [35598-76-6]. The 9-acetoxyxanthene and 3-dimethylaminopiperidine were reacted to give 1-(9-xanthenyl)-3-dimethylaminopiperidine (II) [60717-47-7] which was incorporated into capsules containing II 200, lactose 75, and Mg stearate 5 mg.

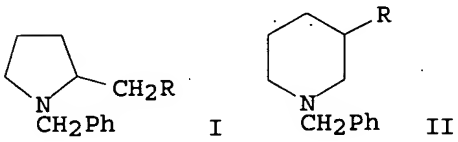
L7 ANSWER 52 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:446289 CAPLUS
DOCUMENT NUMBER: 85:46289
TITLE: Rearrangements during the **synthesis** of substituted 1-benzylpyrrolidines and 3-substituted 1-benzylpiperidines
AUTHOR(S): Moragues, Jacinto; Prieto, Jose; Spickett, Robert G. W.; Vega, Armando
CORPORATE SOURCE: Inst. Invest., Lab. Almirall S. A., Barcelona, Spain
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1976), (9), 938-40
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 85:46289
IT **60169-74-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)
RN 60169-74-6 CAPLUS
CN Acetamide, N-[1-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)



IT **60407-35-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 60407-35-4 CAPLUS
CN 3-Piperidinamine, 1-(phenylmethyl)- (9CI) (CA INDEX NAME)



GI

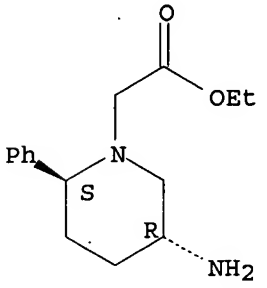


AB Treatment of 1-benzyl-2-(chloromethyl)pyrrolidine I (R = Cl) or 1-benzyl-3-chloropiperidine II (R = Cl) with NaN3 gave a mixture of azides I and II (R = N3) which on **reduction** gave a 50:50 mixture of I and II (R = NH2). The interconversion of I and II (R = N3) occurred via an aziridine intermediate. I (R = NH2) was prepared independently from N-benzylproline and II (R = NH2) from 3-acetamidopiperidine.

L7 ANSWER 53 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:38589 CAPLUS
DOCUMENT NUMBER: 84:38589
TITLE: Conformationally restricted analogs of histamine H1 receptor antagonists, trans- and cis-1-benzyl-3-dimethylamino-6-phenylpiperidine
AUTHOR(S): Ahmed, Ahmed E.; Hanna, Patrick E.; Grund, Vernon R.
CORPORATE SOURCE: Dep. Pharmacol., Univ. Minnesota, Minneapolis, MN, USA
SOURCE: Journal of Medicinal Chemistry (1976), 19(1), 117-22
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 84:38589
IT **57588-85-9P 57588-98-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

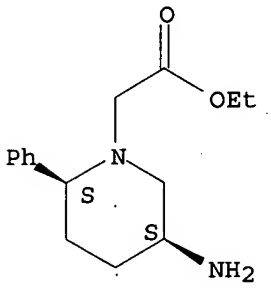
(preparation and cyclization of)
RN 57588-85-9 CAPLUS
CN 1-Piperidineacetic acid, 5-amino-2-phenyl-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



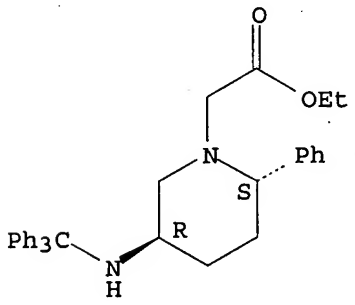
RN 57588-98-4 CAPLUS
CN 1-Piperidineacetic acid, 5-amino-2-phenyl-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



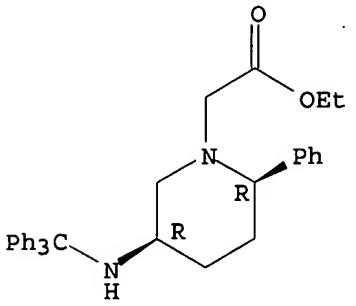
IT **57588-84-8P 57588-97-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and detritylation of)
RN 57588-84-8 CAPLUS
CN 1-Piperidineacetic acid, 2-phenyl-5-[(triphenylmethyl)amino]-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



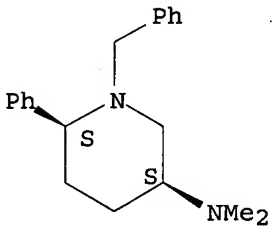
RN 57588-97-3 CAPLUS
CN 1-Piperidineacetic acid, 2-phenyl-5-[(triphenylmethyl)amino]-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **57588-77-9P 57589-04-5P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation and pharmacol. of)
RN 57588-77-9 CAPLUS
CN 3-Piperidinamine, N,N-dimethyl-6-phenyl-1-(phenylmethyl)-, dihydrochloride, cis- (9CI) (CA INDEX NAME)

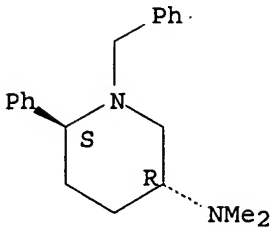
Relative stereochemistry.



●2 HCl

RN 57589-04-5 CAPLUS
CN 3-Piperidinamine, N,N-dimethyl-6-phenyl-1-(phenylmethyl)-, dihydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



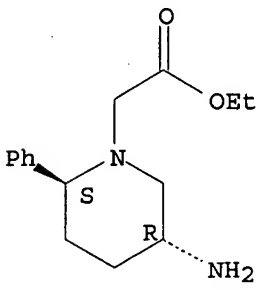
●2 HCl

IT **57588-86-0P 57588-89-3P 57588-90-6P**
57588-99-5P 57589-00-1P 57589-01-2P
57589-02-3P 57589-03-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57588-86-0 CAPLUS
CN 1-Piperidineacetic acid, 5-amino-2-phenyl-, ethyl ester, trans-, diacetate (9CI) (CA INDEX NAME)

CM 1

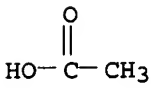
CRN 57588-85-9
CMF C15 H22 N2 O2

Relative stereochemistry.



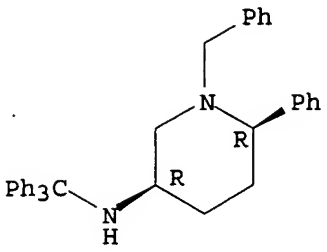
CM 2

CRN 64-19-7
CMF C2 H4 O2



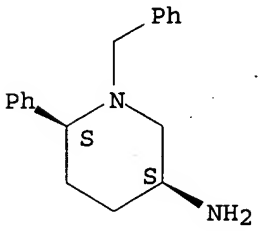
RN 57588-89-3 CAPLUS
CN 3-Piperidinamine, 6-phenyl-1-(phenylmethyl)-N-(triphenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 57588-90-6 CAPLUS
CN 3-Piperidinamine, 6-phenyl-1-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

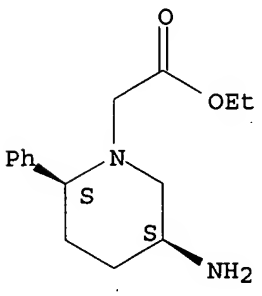


RN 57588-99-5 CAPLUS
CN 1-Piperidineacetic acid, 5-amino-2-phenyl-, ethyl ester, cis-, diacetate (9CI) (CA INDEX NAME)

CM 1

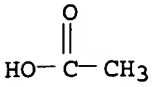
CRN 57588-98-4
CMF C15 H22 N2 O2

Relative stereochemistry.



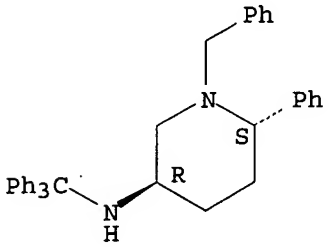
CM 2

CRN 64-19-7
CMF C2 H4 O2



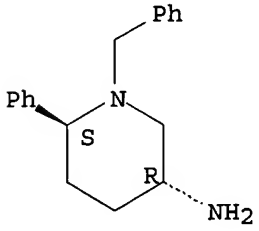
RN 57589-00-1 CAPLUS
CN 3-Piperidinamine, 6-phenyl-1-(phenylmethyl)-N-(triphenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



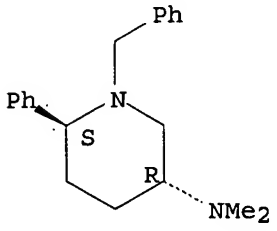
RN 57589-01-2 CAPLUS
CN 3-Piperidinamine, 6-phenyl-1-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



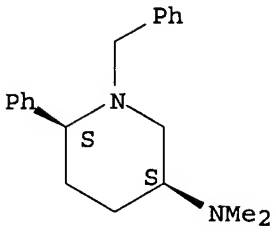
RN 57589-02-3 CAPLUS
CN 3-Piperidinamine, N,N-dimethyl-6-phenyl-1-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 57589-03-4 CAPLUS
CN 3-Piperidinamine, N,N-dimethyl-6-phenyl-1-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



GI For diagram(s), see printed CA Issue.

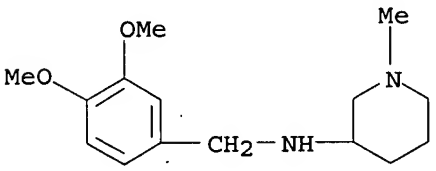
AB The **syntheses** of trans- [**57589-04-5**] and cis-1-benzyl-3-dimethylamino-6-phenylpiperidine-2HCl (I) [**57588-77-9**] are described. Both isomers were found to be inhibitors of histamine, acetylcholine, and BaCl2 induced contractions of the isolated guinea pig ileum. Neither isomer exhibited appreciable stereoselectivity in its ability to inhibit smooth muscle contractions. The cis compound was a more effective inhibitor of histamine-N-methyltransferase [9029-80-5] than the trans isomer.

L7 ANSWER 61 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1961:144163 CAPLUS
DOCUMENT NUMBER: 55:144163
ORIGINAL REFERENCE NO.: 55:27301h-i,27302a-i,27303a-f
TITLE: Application of sodium borohydride **reduction** to **synthesis** of substituted aminopiperidines, aminopiperazines, aminopyridines, and hydrazines
AUTHOR(S): Walker, Gordon N.; Moore, Miriam Ann; Weaver, Barbara N.
CORPORATE SOURCE: Ciba Pharm. Prods. Inc., Summit, NJ
SOURCE: Journal of Organic Chemistry (1961), 26, 2740-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 55:144163

IT **132467-51-7**, Piperidine, 1-methyl-3-veratrylamino-, dihydrochlorides
(preparation of)

RN 132467-51-7 CAPLUS

CN Piperidine, 1-methyl-3-veratrylamino-, dihydrochloride (6CI) (CA INDEX NAME)



●2 HCl

AB Quaternization of 4-aminopyridine (I) with alkyl and arylalkyl halides

gave 4-aminopyridinium salts, which were reduced with NaBH4 to 1-(alkyl or arylalkyl)-4-aminopiperidines. Both 1-alkyl-4-aminopiperidines and 1-alkyl-4-aminopiperazines could be converted to Schiff bases, which were reduced with NaBH4 to the corresponding secondary amines. Similar **reduction** of appropriate Schiff bases as a means of preparing substituted 3-aminopiperidines, aminopyridines, and aminomethylpyridines, as well as **reduction** of dialkylhydrazones to the corresponding trisubstituted hydrazines were also described. Anhydrous HBr was passed through a cold solution of 33.6 g. veratryl alc. in 500 ml. C6H6 10 min., the lower layer separated, the C6H6 treated with Na2CO3, stirred, the solution of veratryl bromide (II) filtered, and used in the following step without purification. To the C6H6 solution of II was added 19 g. I; the mixture refluxed 1.5 hrs., filtered, and the product crystallized gave 54 g. 1-(3,4-dimethoxybenzyl)-4-aminopyridinium bromide (IIa), m. 248-50° (decomposition), (alc.). A simple two-step **synthesis** was used in the preparation of the 1,2-diphenylethyl- and 3,4-dimethoxyphenacyl-substituted compds. The remaining substances were prepared from the com. available bromo (in one case, iodo) compds. by the same **procedure** with a few modifications in solvents used and reaction times. In reactions involving α,ω-dibromoalkanes, a mixture of the compound, 2 equivs. I, and a suitable amount of PhMe was refluxed. The product often settled as an oil. In this case the supernatant was decanted, and the oil crystallized 2-Methyl-4-aminopyridine (III) was most conveniently **synthesized** by a 2-step **reduction** of 4-nitro-2-picoline N-oxide as follows. (A) The oxide (45 g.) in 200 ml. alc. containing 4 g. 10% Pd-C shaken under H at 45 lb./sq. in. gave 33 g. 2-methyl-4-aminopyridine N-oxide (IV), yellow crystals, m. 181-3° (alc.). IV (30 g.) in 300 ml. 1:1 AcOH-H2O treated with excess Zn dust, the mixture warmed 1 hr., cooled, covered with Et2O, treated with a 40% solution of 500 g. NaOH, and the Et2O solution evaporated gave 16.8 g. III, m. 95° (cyclohexane). The following (4-H2NC5H4N)RBr were obtained (R, solvent prepared in, reflux time in hrs., % yield, and m.p. given): EtO2CCH2, C6H6-alc., 1.5, 92, 197°; EtO2CCH2CH2, PhMe, 5, 73, 159°; HOCH2CH2, PhMe, 3.5, 80, 131°; PhCH2, C6H6, 0.5, 90, 196°; Ph2CH, PhMe, 3, 56, 263°; PhCH2CH2, PhMe, 2, 77, 260°; PhCH2CHPh, C6H6, 9, 53, 245°; PhOCH2CH2, PhMe, 4.5, 75, 184°; BzCH2, C6H6, 2, 96, 308°; 3,4-(MeO)2C6H3COCH2, C6H6-alc., 0.3, 64, 271°; p-O2NC6H4CH2, PhMe, 5.5, 66, 266°; 2,4-(O2N)2C6H3, PhMe, 1, 56, 294°. The following [4-H2NC5H4N(CH2)nNC5H4-4]Br2 were similarly obtained (n, solvent, reflux time, % yield, and m.p. of product given): 4, PhMe, 2, 87, 273°; 6, PhMe, 14, 91, 303°; 8, PhMe, 5.5, 84, 300°; 9, PhMe, 5, 14, 221°; 10, PhMe, 5, 88, 247°; 11, PhMe, 7.5, 48, 216°; 12, PhMe, 13, 29, 209°; 16, PhMe (prepared from alkyl iodide), 11, 94, 185°. The following [2,4-Me(H2N)C5H4N(CH2)nNC5H4(NH2)Me- 4,2]Br2 were obtained (n, solvent, reflux time in hrs., % yield, and m.p. given): 8, PhMe, 8, 60, 304°; 9, PhMe, 9, 17, 275°. IIa (30 g.) in 700 ml. MeOH treated in 1 hr. with 250 g. NaBH4, the mixture heated on a steam bath, cooled, treated with 500 ml. H2O, covered with 2 l. Et2O, the 2 phases treated with anhydrous K2CO3 to convert the lower layer to a paste, the Et2O separated, evaporated, the 20 g. oil dissolved in 30 ml. alc., and treated with dry HCl gave 12.2 g. 1-(3,4-dimethoxybenzyl)-4-aminopiperidine-2HCl, m. 223-5° (decomposition) (MeOH-Et2O). Other 4-aminopiperidines were obtained from the resp. quaternary salts by the same **procedure.** The free bases were hygroscopic oils. The amines had to be salted out with NaCl. When 4-aminopiperidines, as free bases, were required for further work, they were used directly in the crude state. 1-Methyl-4-aminopiperidine and 1-(β-hydroxyethyl)-4-aminopiperidine, both formed hygroscopic salts with HCl. The following

4-(N-substituted-amino)piperidine-2HCl were thus obtained (R, % yield, and m.p. given): EtO2CCH2, 17, 169°; PhCH2, 41, 255°; PhCH2CH2, 88, 321°; PhCH2CHPh, 40, 237° (decomposition); PhOCH2CH2, 44, 220°; PhCH(OH)CH2, 90, 248° (decomposition); 3,4-(MeO)2C6H3CH(OH)CH2, 56, 220° (decomposition); p-O2NC6H4CH2, 10, 265° (decomposition). The following 4-H2NC5H4N(CH2)nNC5H4NH2-4.4HCl were similarly obtained (n, % yield, and m.p. given): 6, 22, 204°; 10, 16, 295°; 12, 34, 311°; 16, 20, 315°.
1,10-Bis(4-amino-1-piperidyl)decane was also characterized by preparation of the bis(dichloroacetate)-2HCl, m. 227-30° (decomposition) (alc.).
1-Methyl-4-aminopiperazine (8.1 g.) and 11.2 g. veratraldehyde in 200 ml. PhMe refluxed 1.5 hrs., evaporated, the residue dissolved in 150 ml. MeOH, the solution reduced with 40 g. NaBH4, heated 0.5 hr. on the steam bath, and the 20.5 g. yellow oil treated with alc. HCl gave 10 g. 1-methyl-4-(3,4-dimethoxybenzylamine)piperazine, m. 199-202° (decomposition). Other secondary aminopiperidines and aminopiperazines were given in a table.
Attempts to **reduce** imines derived from 1-phenyl-2-propanone and 1-substituted 4-aminopiperidines with NaBH4 did not lead to desired products, probably because of cleavage of the unstable imines.
3-Aminopyridine (16.8 g.) and 30 g. veratraldehyde in 500 ml. xylene refluxed 24 hrs. and the 45.5 g. residual oily imine in MeOH reduced with NaBH4 gave 33 g. 3-(3,4-dimethoxybenzylamino)pyridino (V), m. 123-5° (MeOH). The other pyridines were similarly prepared The following RNHR' were thus obtained (R, R', % yield, and m.p. given): 3,4-dimethoxybenzyl, 1-methyl-4-piperidyl, 60, 254-6° (decomposition); 3,4,5-trimethoxybenzyl, 1-methyl-4-piperidyl, 37, 264-5° (decomposition); 3,4-dimethoxybenzyl, 1-(β-hydroxyethyl)-4-piperidyl, 12, 255-6° (decomposition); 4-methoxybenzyl, 1-(3,4-dimethoxybenzyl)-4-piperidyl, 46, 274-5° (decomposition); 3,4,5-trimethoxybenzyl, 1-methyl-4-piperazyl, 56, 135-7°; p-dimethylaminobenzyl, 1-methyl-4-piperazyl, 40, 125-7° (157-60°); 3-pyridylmethyl, 1-methyl-4-piperazyl, 95, 201-2° (220-6° with 0.5H2O); 1-hydroxy-1-phenyl-2-propyl, 1-methyl-4-piperazyl, 25, 219-21° (decomposition); 3,4-dimethoxybenzyl, 2-pyridyl, 65, 102-3°; 3,4,5-trimethoxybenzyl, 2-pyridyl, 45, 167-8°; p-dimethylaminobenzyl, 2-pyridyl, 52, 125-6°; 3,4,5-trimethoxybenzyl, 3-pyridyl, 63, 109-10°; 3,4,5-trimethoxybenzyl, 3-pyridylmethyl, 90, 205-7°; p-dimethylaminobenzyl, 3-pyridylmethyl, 96, 185-6° (decomposition); 3,4-dimethoxybenzyl, 4-pyridylmethyl, 22, 200° (decomposition); 3,4,5-trimethoxyhenzyl, 4-pyridylmethyl, 43, 214-16°; p-dimethylaminobenzyl, 4-pyridylmethyl, 45, 195-6°; 1-phenyl-2-propyl, 3-pyridylmethyl, 55, 205-7°; 1-phenyl-2-propyl, 4-pyridylmethyl, 80, 181-3°; 3,4,5-trimethoxybenzyl, NMe2, 45, 81-3; p-dimethylaminobenzyl, NMe2, 7, 158-61° (decomposition); 1-phenyl-2-propyl, NMe2, 70, 123-5°; 1,2-diphenylethyl, NMe2, 23, 183-5°; PhCH:CHCHMe, NMe2, 5, 117-20° (decomposition). V (14.1 g.) converted rapidly to the MeI salt, evaporated, the crystals suspended in 200 ml. MeOH, reduced with 125 g. NaBH4, and the residual oil treated with HCl gave 14.6 g. 1-methyl-3-(3,4-dimethoxybenzylamino)piperidine-2HCl, m. 233-5° (decomposition). 3-Aminopiperidine (7.6 g.), 12.7 g. veratraldehyde, and 250 ml. PhMe refluxed 3.5 hrs., the crude imine reduced with NaBH4 in alc., and crystallized gave 20.6 g. V.2HCl, m. 229-31° (alc.). **Reduction** of p-dimethylaminobenzylidene derivative and isolation gave 76% 3-(4-dimethylaminobenzylamino)piperidine, no definite m.p. 3-(3-Pyridylmethylamino)piperidine was obtained in 79% yield by **reduction** of the 3-pyridylidene derivative and isolated as the tri-HCl salt. Veratraldehyde (16.3 g.) and 6.5 g. N,N-dimethylhydrazine mixed, the oil taken up in 200 ml. C6H6, the solution refluxed 4 hrs., evaporated, and the hydrazone reduced in MeOH with NaBH4 gave 13.9 g. N,N-dimethyl-N-(3,4-dimethoxybenzyl)hydrazine-HCl, m. 172-4.5°.

The other hydrazine derivs. above were prepared by the same **method**

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	387.54	549.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-52.56	-52.56

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